

10/613,482

* * * * * STN Columbus * * * * *

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L1 STRUCTURE UPLOADED

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L3 2 SEA SSS FUL L1

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L4 1 L3

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L4 ANSWER 1 OF 1 CA COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 140:94041 CA

TITLE: Preparation of pyrazoloisoquinolines as NF
.kappa.B-inducing kinase (NIK) inhibitors

INVENTOR(S): Flohr, Stefanie; Naumann, Thorsten

PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany

SOURCE: PCT Int. Appl., 42 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

not a good date

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004005287	A1	20040115	WO 2003-EP6500	20030620
WO 2004005287	C2	20040304		
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

DE 10229762

A1 20040122

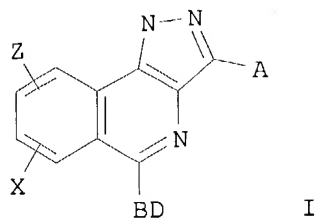
DE 2002-10229762 20020703

PRIORITY APPLN. INFO.:

DE 2002-10229762 A 20020703

OTHER SOURCE(S): MARPAT 140:94041

GI



AB Title compds. [I; A = alkyl substituted by 1-2 OR1, CO2R1, (substituted) heteroaryl; B = bond, R1-substituted alkylene; D = (substituted) heteroaryl, heterocyclyl, aryl, cycloalkyl; X, Y = H, alkyl, OH, alkoxy, halo; R1 = H, alkyl], were prepd. Thus, PhCO2H, hydroxybenzotriazole, diisopropyl carbodiimide, and 3,5-diphenyl-1H-pyrazol-4-ylamine were stirred 12 h in MeCN to give a residue which was heated with P2O5 and POCl3 in xylene at 150.degree. for 4 h and at room temp. for 12 h to give 3,5-diphenyl-1H-pyrazolo[4,3-c]isoquinoline. The latter inhibited TNF.alpha. release in LPS-stimulated human peripheral blood lymphocytes with IC50 = 1.9 .mu.M.

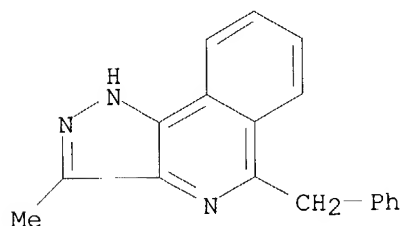
IT **645417-84-1P 645417-85-2P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compd.; prepn. of pyrazoloisoquinolines as NIK inhibitors)

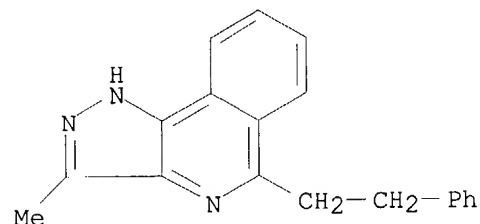
RN 645417-84-1 CA

CN 1H-Pyrazolo[4,3-c]isoquinoline, 3-methyl-5-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 645417-85-2 CA

CN 1H-Pyrazolo[4,3-c]isoquinoline, 3-methyl-5-(2-phenylethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

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THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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10/613,482

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L5 ANSWER 1 OF 1 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 140:94041 MARPAT

TITLE: Preparation of pyrazoloisoquinolines as NF .kappa.B-inducing kinase (NIK) inhibitors

INVENTOR(S): Flohr, Stefanie; Naumann, Thorsten

PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany

SOURCE: PCT Int. Appl., 42 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004005287	A1	20040115	WO 2003-EP6500	20030620
WO 2004005287	C2	20040304		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

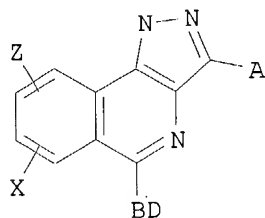
DE 10229762 A1 20040122

DE 2002-10229762 20020703

DE 2002-10229762 20020703

PRIORITY APPLN. INFO.:

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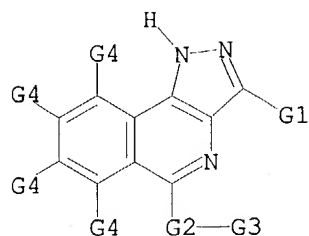


I

AB Title compds. [I; A = alkyl substituted by 1-2 OR1, CO2R1, (substituted) heteroaryl; B = bond, R1-substituted alkylene; D = (substituted) heteroaryl, heterocyclyl, aryl, cycloalkyl; X, Y = H, alkyl, OH, alkoxy, halo; R1 = H, alkyl], were prepd. Thus, PhCO2H, hydroxybenzotriazole, diisopropyl carbodiimide, and 3,5-diphenyl-1H-pyrazol-4-ylamine were stirred 12 h in MeCN to give a residue which was heated with P2O5 and POCl3 in xylene at 150.degree. for 4 h and at room temp. for 12 h to give 3,5-diphenyl-1H-pyrazolo[4,3-c]isoquinoline. The latter inhibited TNF.alpha. release in LPS-stimulated human peripheral blood lymphocytes with IC50 = 1.9 .mu.M.

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MSTR 1



G1 = heteroaryl<EC (5-14) A> (SO)
G2 = alkylene<(1-4)> (SO (1-) alkyl<(1-8)>)
G3 = Ph
MPL: claim 1

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file reg

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L6 STRUCTURE UPLOADED

=> s 16 full
L8 39 SEA SSS FUL L6

=> file ca

=> s 18
L9 4 L8

=> d ibib abs fhitrn hitrn 1-4

L9 ANSWER 1 OF 4 CA COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 140:94041 CA
TITLE: Preparation of pyrazoloisoquinolines as NF
.kappa.B-inducing kinase (NIK) inhibitors
INVENTOR(S): Flohr, Stefanie; Naumann, Thorsten
PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

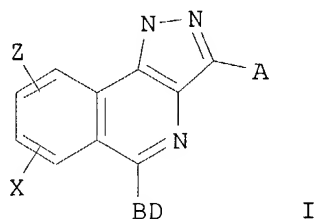
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004005287	A1	20040115	WO 2003-EP6500	20030620
WO 2004005287	C2	20040304		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
 PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
 UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
 TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
 CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
 NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
 GW, ML, MR, NE, SN, TD, TG

Parent
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DE 10229762 A1 20040122 DE 2002-10229762 20020703
 PRIORITY APPLN. INFO.: DE 2002-10229762 A 20020703
 OTHER SOURCE(S): MARPAT 140:94041
 GI



AB Title compds. [I; A = alkyl substituted by 1-2 OR1, CO2R1, (substituted) heteroaryl; B = bond, R1-substituted alkylene; D = (substituted) heteroaryl, heterocyclyl, aryl, cycloalkyl; X, Y = H, alkyl, OH, alkoxy, halo; R1 = H, alkyl], were prepd. Thus, PhCO2H, hydroxybenzotriazole, diisopropyl carbodiimide, and 3,5-diphenyl-1H-pyrazol-4-ylamine were stirred 12 h in MeCN to give a residue which was heated with P2O5 and POCl3 in xylene at 150.degree. for 4 h and at room temp. for 12 h to give 3,5-diphenyl-1H-pyrazolo[4,3-c]isoquinoline. The latter inhibited TNF.alpha. release in LPS-stimulated human peripheral blood lymphocytes with IC50 = 1.9 .mu.M.

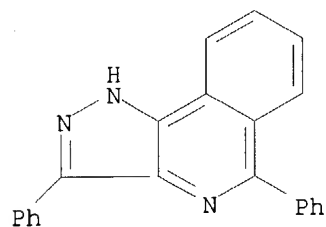
IT 645417-67-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compd.; prepn. of pyrazoloisoquinolines as NIK inhibitors)

RN 645417-67-0 CA

CN 1H-Pyrazolo[4,3-c]isoquinoline, 3,5-diphenyl- (9CI) (CA INDEX NAME)



IT 645417-67-0P 645417-68-1P 645417-69-2P
 645417-70-5P 645417-71-6P 645417-72-7P
 645417-73-8P 645417-74-9P 645417-75-0P
 645417-76-1P 645417-77-2P 645417-78-3P
 645417-79-4P 645417-80-7P 645417-81-8P
 645417-82-9P 645417-83-0P 645417-84-1P

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645417-85-2P 645417-86-3P 645417-87-4P
645417-88-5P 645417-89-6P 645417-90-9P
645417-91-0P 645417-92-1P 645417-93-2P
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645417-97-6P 645417-98-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(claimed compd.; prepn. of pyrazoloisoquinolines as NIK inhibitors)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 4 CA COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 108:94544 CA

TITLE: Preparation of pyrazoloisoquinolines as
antiinflammatory agents

INVENTOR(S): Tully, Wilfred Roger

PATENT ASSIGNEE(S): Roussel Laboratories Ltd., UK

SOURCE: Brit. UK Pat. Appl., 11 pp.

CODEN: BAXXDU

DOCUMENT TYPE: Patent

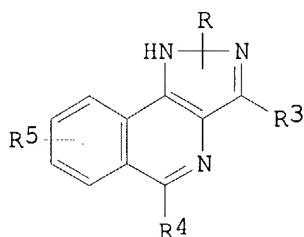
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

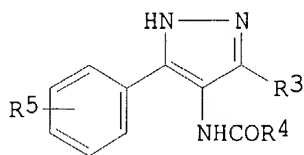
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2185255	A1	19870715	GB 1987-662	19870113
GB 2185255	B2	19891206		
FR 2595096	A1	19870904	FR 1987-152	19870109
FR 2595096	B1	19911129		
PRIORITY APPLN. INFO.:			GB 1986-752	19860114
OTHER SOURCE(S):		CASREACT 108:94544		

GI



I



II

AB The title compds. I [R = H, (substituted) alkyl, alkenyl, cycloalkyl, haloalkyl; R3 = H, alkyl, aryl; R4 = (substituted) alkyl, alkenyl, cycloalkyl, (substituted) aryl; R5 = H, halo, alkyl, alkoxy, NO2], useful as antiinflammatory agents, were prepd. by cyclization of II in the presence of polyphosphoric acid. A mixt. of 10 g 3-methyl-5-phenyl-4-pyrazolamine and 10 g PhCOCl in 100 mL CHCl3 was stirred at room temp. for 30 min to give 12 g N-(3-methyl-5-phenyl-4-pyrazolyl)benzamide (III). A mixt. of 9 g III and 90 g polyphosphoric acid was heated at 200-300.degree. for 15-30 min to give 7 g pyrazoloisoquinoline deriv. I (R = R5 = H, R3 = Me, R4 = Ph) (IV). At 20 mg/kg orally, IV inhibited

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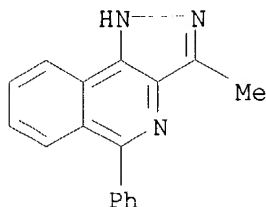
carrageenin-induced edema in rats by 48%. Tablets contg. IV, lactose, starch, talc, and Mg stearate were prepd.

IT **112884-48-7P**, 3-Methyl-5-phenyl-1H-pyrazolo[4,3-c]isoquinoline

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antiinflammatory agent)

RN 112884-48-7 CA

CN 1H-Pyrazolo[4,3-c]isoquinoline, 3-methyl-5-phenyl- (9CI) (CA INDEX NAME)



IT **112884-48-7P**, 3-Methyl-5-phenyl-1H-pyrazolo[4,3-c]isoquinoline

112884-54-5P 112884-55-6P 112884-56-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antiinflammatory agent)

L9 ANSWER 3 OF 4 CA COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 102:112619 CA

TITLE: Photocyclization of 1,2-diaryl- and
photo-bicyclization of 1,2,6-triarylpyridinium cations
AUTHOR(S): Katritzky, Alan Roy; Agha, Bushra; De Ville, George
Z.; Lunt, Edward; Knyazhanskii, M. I.; Tymyanskii, Ya.
R.; Pyshchev, A. I.

CORPORATE SOURCE: Univ. East Anglia, Norwich, UK

SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1984), (11),
1509-18

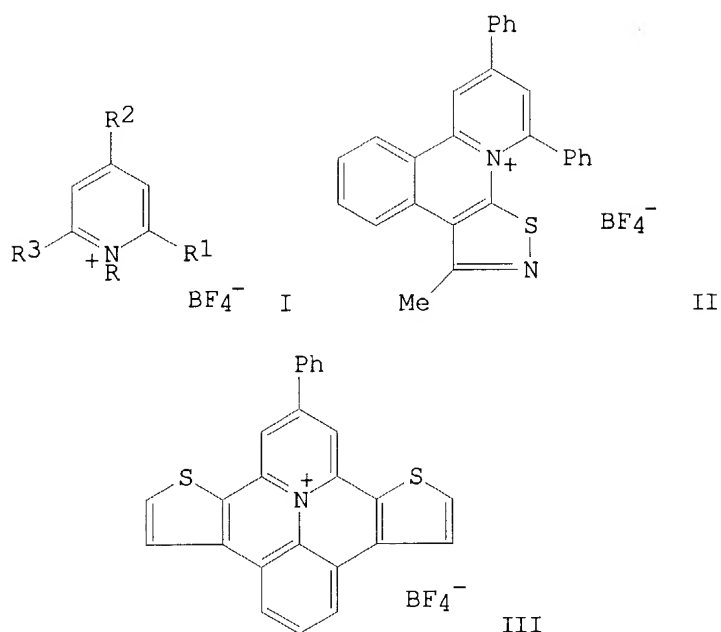
CODEN: KGSSAQ; ISSN: 0453-8234

DOCUMENT TYPE: Journal

LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 102:112619

GI



AB The photocyclization of pyridinium salts I (R = Ph, substituted Ph, 4-pyridyl, pyrrol-1-yl, 3-methyl-4-isothiazolyl, pyrazol-4-yl, 2-benzothiazolyl, etc.; R1 = Ph, 4-FC6H4, CO2Et, styryl, 2-pyridyl, 4-tolyl, 2-benzothiazolyl, 2-thienyl, Me; R2 = Ph, 4-FC6H4, CO2Et, CO2-, H; R3 = Ph, 4-FC6H4, 4-tolyl, 2-thienyl) was examd. Thus, I (R = 3-methyl-5-isothiazolyl, R1 = R2 = R3 = Ph) gave II, and I (R = R1 = R3 = 2-thienyl) gave III. The photocyclization proceeded via the excited singlet state with nonadiabatic formation of a dihydro intermediate, which then underwent oxidative dehydrogenation. The structure and quantum yield of the photoproducts were detd. by steric and electronic effects of the substituents, and in bichromophoric compds. by singlet-singlet intramol. interfragment energy transfer.

IT **89419-63-6P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 89419-63-6 CA

CN 1H-Dibenzo[b,g]pyrazolo[3,4,5-ij]pyrido[2,1,6-de]quinolizin-14-ium,
8-phenyl-, tetrafluoroborate(1-), mono[tetrafluoroborate(1-)] (9CI) (CA
INDEX NAME)

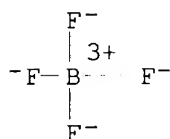
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10/613,482



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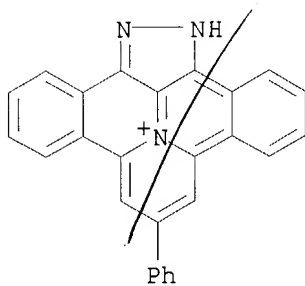
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CM 3

CRN 89419-62-5

CMF C26 H16 N3

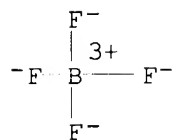


CM 4

CRN 14874-70-5

CMF B F4

CCI CCS



IT 89419-63-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L9 ANSWER 4 OF 4 CA COPYRIGHT 2004 ACS on STN

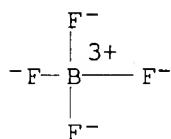
ACCESSION NUMBER: 100:174146 CA

TITLE: Spectroscopic elucidation of pseudo-base formation
from benzo[8,9]quinolizino[4,5,6,7-
fed]phenanthrindylum

AUTHOR(S): Katritzky, Alan R.; Agha, Bushra; De Ville, George Z.;

10/613,482

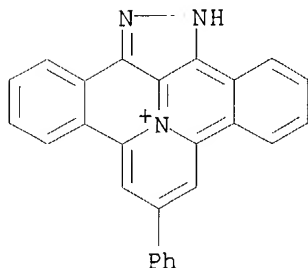
CORPORATE SOURCE: Lunt, Edward; Podmore, Michael L.
Sch. Chem. Sci., Univ. East Anglia, Norwich, NR4 7TJ,
UK
SOURCE: Organic Magnetic Resonance (1983), 21(11), 649-56
CODEN: ORMRBD; ISSN: 0030-4921
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 13C and 1H NMR and UV are assigned for a variety of substituted and hetero
derivs. of benzo[8,9]quinolizino[4,5,6,7-fed]phenanthrindyliums. Large
specific effects of traces of H2O on these spectra are traced to
pseudo-base formation.
IT 89419-63-6
RL: PRP (Properties)
(proton NMR and UV of)
RN 89419-63-6 CA
CN 1H-Dibenzo[b,g]pyrazolo[3,4,5-ij]pyrido[2,1,6-de]quinolizin-14-ium,
8-phenyl-, tetrafluoroborate(1-), mono[tetrafluoroborate(1-)] (9CI) (CA
INDEX NAME)
CM 1
CRN 16872-11-0
CMF B F4 . H
CCI CCS



● H⁺

CM 2
CRN 95187-19-2
CMF C26 H16 N3 . B F4

CM 3
CRN 89419-62-5
CMF C26 H16 N3



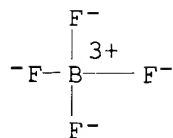
10/613,482

CM 4

CRN 14874-70-5

CMF B F4

CCI CCS



IT 89419-63-6

RL: PRP (Properties)
(proton NMR and UV of)

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L1 STRUCTURE UPLOADED

L2 0 S L1 SAM

L3 2 S L1 FULL

FILE 'CA' ENTERED AT 15:43:33 ON 19 MAY 2004

L4 1 S L3

FILE 'MARPAT' ENTERED AT 15:43:49 ON 19 MAY 2004

L5 1 S L1 FULL

FILE 'REGISTRY' ENTERED AT 15:44:19 ON 19 MAY 2004

L6 STRUCTURE UPLOADED

L7 2 S L6 SAM

L8 39 S L6 FULL

FILE 'CA' ENTERED AT 15:45:37 ON 19 MAY 2004

L9 4 S L8

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STN INTERNATIONAL LOGOFF AT 15:45:54 ON 19 MAY 2004